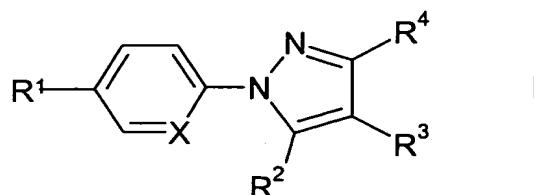


Patent claims**1. Compounds of the formula I**

5



10

in which

R^1 denotes H, A, Hal, $(CH_2)_n$ Het, $(CH_2)_n$ Ar, cycloalkyl having 3 to 7 C atoms, CF_3 , NO_2 , CN, $C(NH)NOH$ or OCF_3 ,

15

R^2 denotes $(CH_2)_n$ Het, $(CH_2)_n$ Ar, cycloalkyl having 3 to 7 C atoms or CF_3 ,

20

R^3 , R^4 denote H, $(CH_2)_nCO_2R^5$, $(CH_2)_nCOH$ et, CHO, $(CH_2)_nOR^5$, $(CH_2)_n$ Het, $(CH_2)_nN(R^5)_2$, $CH=N-OA$, $CH_2CH=N-OA$, $(CH_2)_nNHOA$, $(CH_2)_nN(R^5)Het$, $(CH_2)_nCH=N-Het$,

25

$(CH_2)_nOCOR^5$, $(CH_2)_nN(R^5)CH_2CH_2OR^5$, $(CH_2)_nN(R^5)CH_2CH_2OCF_3$, $(CH_2)_nN(R^5)C(R^5)HCOOR^5$, $(CH_2)_nN(R^5)CH_2COH$ et, $(CH_2)_nN(R^5)CH_2$ Het, $(CH_2)_nN(R^5)CH_2CH_2$ Het, $(CH_2)_nN(R^5)CH_2CH_2N(R^5)CH_2COOR^5$, $(CH_2)_nN(R^5)CH_2CH_2N(R^5)_2$, $CH=CHCOOR^5$, $CH=CHCH_2NR^5$ Het, $CH=CHCH_2N(R^5)_2$, $CH=CHCH_2OR^5$ or $(CH_2)_nN(R^5)Ar$, where in each case one of the radicals R^3 or R^4 denotes H,

30

R^5 denotes H or A,

A denotes straight-chain or branched alkyl or alkoxy having 1 to 10 C atoms, alkenyl or alkoxyalkyl having 2 to 10 C atoms,

35

Het denotes a saturated, unsaturated or aromatic mono- or bicyclic heterocyclic or linear or branched organic radical

containing one or more heteroatoms which is unsubstituted or mono- or polysubstituted by A and/or Hal,

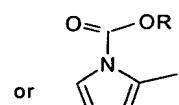
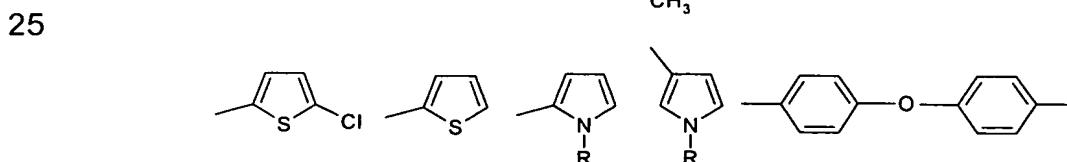
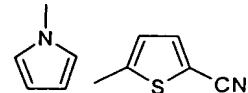
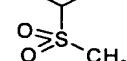
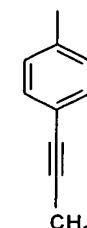
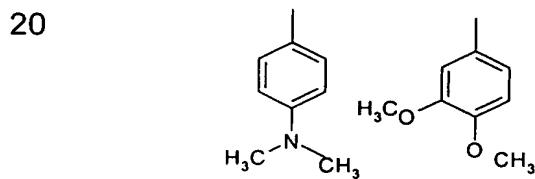
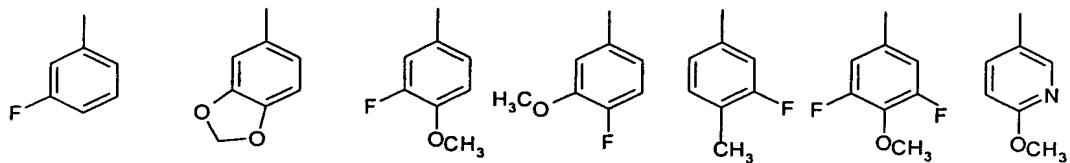
5 Ar denotes a phenyl radical which is unsubstituted or mono- or polysubstituted by A and/or Hal, OR⁵, OOCR⁵, COOR⁵, CON(R⁵)₂, CN, NO₂, NH₂, NHCOR⁵, CF₃ or SO₂CH₃,

n denotes 0, 1, 2, 3, 4 or 5,

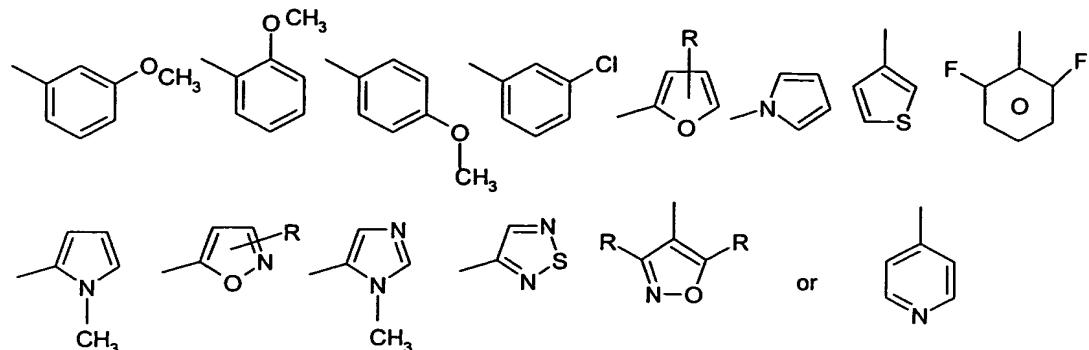
10 Hal denotes F, Cl, Br or I,

and

15 X denotes N or, in the case where R¹ denotes



30 in which R denotes H or an alkyl group having 1 to 6 C atoms, and/or R² has one of the following meanings:



in which R denotes H or an alkyl group having 1 to 6 C atoms,

alternatively denotes CH,

and salts and solvates, enantiomers, and racemates thereof and

15 other mixtures of the enantiomers, in particular physiologically tolerated salts and solvates thereof.

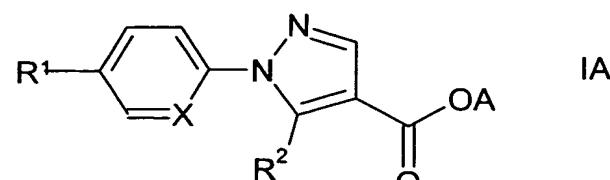
2. Compounds of the formula I according to Claim 1, in which R¹ denotes phenyl, 2-, 3- or 4-cyanophenyl, 2-, 3- or 4-fluorophenyl, 2-, 20 3- or 4-methyl-, -ethyl-, -n-propyl- or -n-butylphenyl, 2,3-, 2,4-, 2,5-, 2,6-, 3,4-, 3,5- or 3,6-difluoro-, -dichloro- or -dicyanophenyl, 3,4,5-trifluorophenyl, 3,4,5-trimethoxy- or -triethoxyphenyl, thiophen-2-yl or thiophen-3-yl.
- 25 3. Compounds of the formula I according to one or more of the preceding claims, in which R³ denotes H.
4. Compounds of the formula I according to one or more of the preceding claims, in which R⁴ denotes H.
- 30 5. Compounds of the formula I according to one or more of the preceding claims, in which R² denotes phenyl, 2-, 3- or 4-cyanophenyl, 2-, 3- or 4-fluorophenyl, 2-, 3- or 4-methyl-, -ethyl-, -n-propyl- or -n-butylphenyl, 2,3-, 2,4-, 2,5- or 2,6-difluoro- or -dicyanophenyl, thiophen-2-yl or thiophen-3-yl, 2-, 3- or 4-pyridyl, 2-, 4- or 5-oxazolyl, 2-, 4- or 35 3-

5-thiazolyl, quinolinyl, isoquinolinyl, 2- or 4-pyridazyl, 2-, 4- or 5-pyrimidyl, or 2- or 3-pyrazinyl.

6. Compounds of the formula I according to one or more of the preceding claims, in which X denotes N.

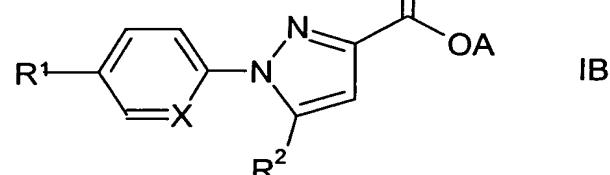
7. Compounds of the formulae IA, IB, IC, ID, IE and IF:

10



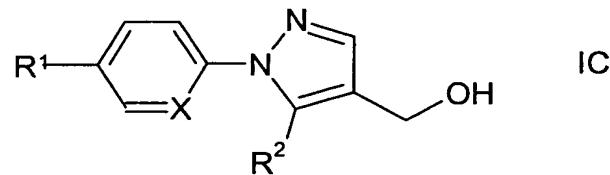
IA

15



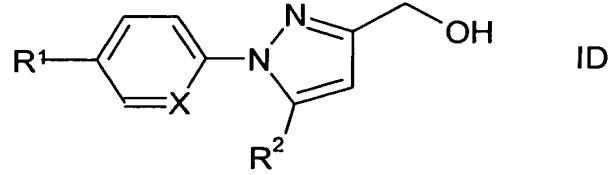
IB

20



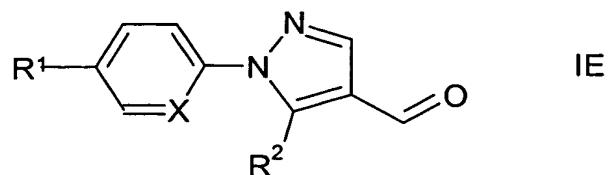
IC

25



ID

30



IE

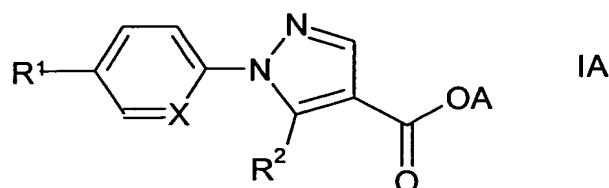
35

in which

R¹, R² and X have the meanings indicated in Claim 1.

8. Process for the preparation of compounds of the formula IA

5



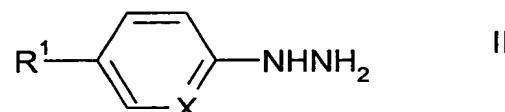
10

in which R^1 , R^2 , R^3 , R^4 , X and A have the meanings indicated in Claim

1,

15 and salts and solvates thereof, which is characterised in that a compound of the formula II

15



20

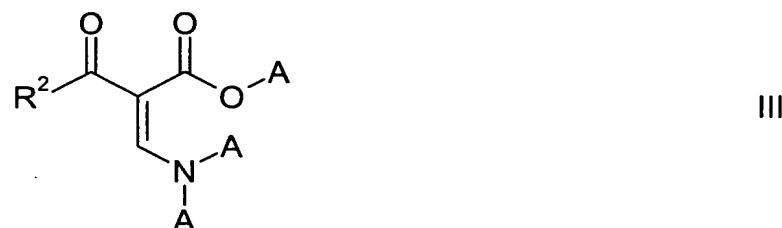
or acid-addition salts thereof

in which

25 R^1 and X have the meanings indicated in Claim 1,

is reacted with a compound of the formula III

25



30

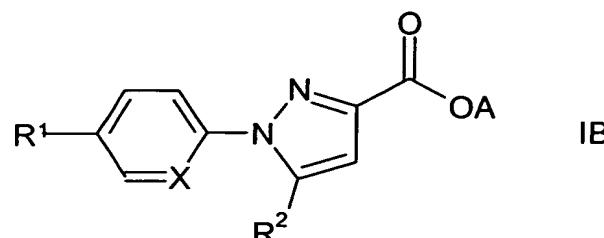
in which

A and R^2 have the meanings indicated in Claim 1,

and/or in that a basic compound of the formula IA is converted into one of its salts by treatment with an acid.

9. Process for the preparation of compounds of the formula IB

5



in which R^1 , R^2 , R^3 , R^4 , X and A have the meanings indicated in Claim 1,

10

and salts and solvates thereof, which is characterised in that a compound of the formula II

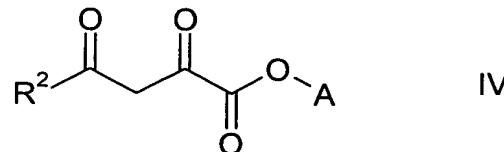
15

or acid-addition salts thereof

in which

R^1 and X have the meanings indicated in Claim 1,
is reacted with a compound of the formula IV

20



in which

25

A and R^2 have the meanings indicated in Claim 1,
and/or in that a basic compound of the formula IB is converted into
one of its salts by treatment with an acid.

30

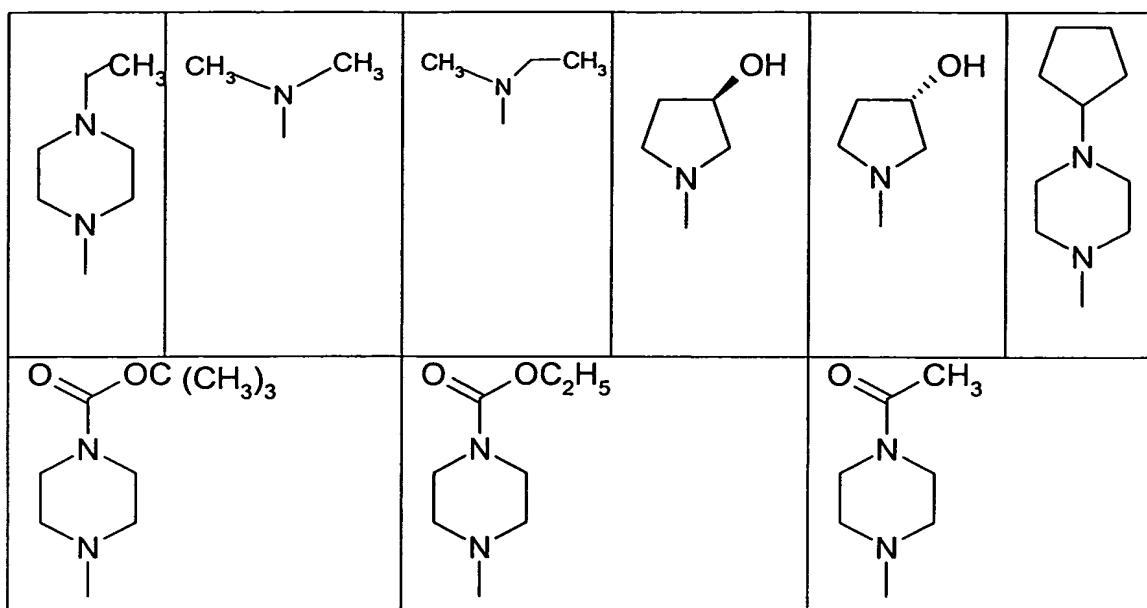
10. Compounds of the formula I according to one or more of Claims 1 to 6 and physiologically acceptable salts and solvates thereof as medicaments.

35

11. Use of the compounds of the formula I according to one or more of Claims 1 to 6, and salts and solvates thereof, for the preparation of a medicament for the treatment and prophylaxis of diseases which can

be influenced by the binding of the compounds of the formula I to 5 HT receptors.

- 5 12. Use of compounds of the formula I according to one or more of Claims 1 to 6 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament having a 5-HT receptor-antagonistic action.
- 10 13. Use of compounds of the formula I according to one or more of Claims 1 to 6 and/or physiologically acceptable salts and solvates thereof for the preparation of a medicament having a 5-HT2A receptor-antagonistic action.
- 15 14. Pharmaceutical composition characterised by a content of at least one compound of the formula I according to one or more of Claims 1 to 6 and/or one of its physiologically acceptable salts and/or one of its solvates.
- 20 15. Process for the preparation of pharmaceutical compositions, characterised in that a compound of the formula I according to Claim 1 and/or one of its physiologically acceptable salts and/or one of its solvates is converted into a suitable dosage form together with at least one solid, liquid or semi-liquid excipient or adjuvant.
- 25 16. Use of compounds of the formula I according to one or more of Claims 1 to 6 and/or physiologically acceptable salts or solvates thereof for the preparation of a medicament for the prophylaxis and/or treatment of psychoses, neurological disorders, amyotrophic lateral sclerosis, eating disorders, such as bulimia, anorexia nervosa, of premenstrual syndrome and/or for positively influencing obsessive-compulsive disorder (OCD).
- 30 17. Compounds of the formula I in which Het is one of the following radicals:



15

20

25

30

35